

Data Sheet

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 Product Name
 :
 GSK2193874

 Cat. No.
 :
 PC-60294

 CAS No.
 :
 1336960-13-4

 Molecular Formula
 :
 C₃₇H₃₈BrF₃N₄O

Molecular Weight:691.62Target:TRP ChannelSolubility:10 mM in DMSO

Biological Activity

GSK2193874 (GSK 2193874) is an orally active, potent, and selective blocker of **TRPV4 channel** with IC50 of 40 nM and 2 nM for hTRPV4 and rTRPV4, respectively.

 ${\sf GSK2193874} \ shows \ high \ selectivity \ against \ {\sf TRPV1}, \ {\sf TRPA1}, \ {\sf TRPC3}, \ {\sf TRPC6}, \ {\sf TRPM8} \ ({\sf IC50>25} \ uM).$

GSK2193874 is the first-in-class orally bioavailable TRPV4 inhibitor which demonstrates ability to improve pulmonary functions in a number of heart failure models.

References

Cheung M, et al. ACS Med Chem Lett. 2017 Mar 20;8(5):549-554.

Buday T, et al. Respir Physiol Neurobiol. 2017 Feb;236:1-4.

Thorneloe KS, et al. *Sci Transl Med.* 2012 Nov 7;4(159):159ra148.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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